

10/716363

=> d his

(FILE 'HOME' ENTERED AT 16:54:22 ON 02 FEB 2005)

FILE 'REGISTRY' ENTERED AT 16:54:35 ON 02 FEB 2005

L1 STRUCTURE UPLOADED
L2 3 S L1
L3 35 S L1 SSS FULL

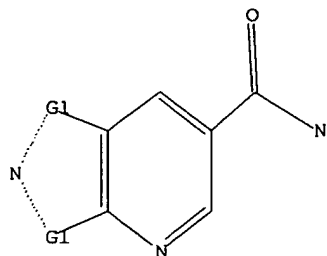
FILE 'CAPLUS' ENTERED AT 16:55:48 ON 02 FEB 2005

L4 14 S L3
L5 12 S L4 NOT PYRROLO?

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,O

Structure attributes must be viewed using STN Express query preparation.

=> d 1-14 bib abs hitstr

L5 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:142950 CAPLUS

DN 140:175186

TI Phthalimide derivatives as matrix metalloproteinase inhibitors,
pharmaceutical compositions, and therapeutic use

IN O'Brien, Patrick Michael; Nahra, Joe

PA Warner-Lambert Company LLC, USA

SO PCT Int. Appl., 182 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014365	A1	20040219	WO 2003-IB3549	20030803
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004038973	A1	20040226	US 2003-634717	20030805
PRAI	US 2002-403124P	P	20020813		
OS	MARPAT 140:175186				

AB The invention provides phthalimide derivs., or pharmaceutically acceptable salts thereof. The invention also provides pharmaceutical compns. comprising a compound of the invention, or a pharmaceutically acceptable salt thereof, together with a pharmaceutically acceptable carrier, diluent, or excipient. The invention also provides methods of inhibiting an MMP-13 enzyme in an animal, comprising administering a compound of the invention, or a pharmaceutically acceptable salt thereof. The invention also provides methods of treating a disease mediated by an MMP-13 enzyme in a patient, comprising administering a compound of the invention, or a pharmaceutically acceptable salt thereof, either alone or in a

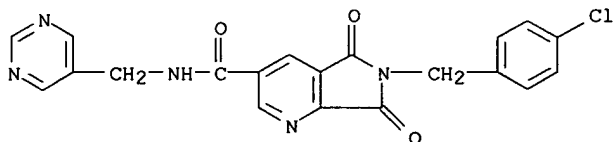
pharmaceutical composition The invention also provides methods of treating diseases such as heart disease, multiple sclerosis, osteo- and rheumatoid arthritis, arthritis other than osteo- or rheumatoid arthritis, cardiac insufficiency, inflammatory bowel disease, heart failure, age-related macular degeneration, chronic obstructive pulmonary disease, asthma, periodontal diseases, psoriasis, atherosclerosis, and osteoporosis in a patient, comprising administering to the patient a compound of the invention, or a pharmaceutically acceptable salt thereof, either alone or in a pharmaceutical composition The invention also provides combinations, comprising a compound of the invention, or a pharmaceutically acceptable salt thereof, together with another pharmaceutically active component as described in the specification.

IT **658038-61-0**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phthalimide derivs. as matrix metalloproteinase inhibitors, pharmaceutical compns., and therapeutic use)

RN 658038-61-0 CAPLUS

CN 5H-Pyrrolo[3,4-b]pyridine-3-carboxamide, 6-[(4-chlorophenyl)methyl]-6,7-dihydro-5,7-dioxo-N-(5-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:875007 CAPLUS

DN 139:364925

TI Preparation of acetylenic ortho-sulfonamido and phosphinic acid amido bicyclic heteroaryl hydroxamic acids as TACE inhibitors

IN Levin, Jeremy I.; Chen, James Ming; Du, Xue-mei; Albright, Jay D.; Zask, Arie

PA American Cyanamid Company, USA

SO U.S. Pat. Appl. Publ., 36 pp., Cont. of U.S. Ser. No. 492,978.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003208066	A1	20031106	US 2003-390515	20030317
PRAI	US 1999-198221P	P	19990127		
	US 2000-492978	B1	20000127		
OS	MARPAT 139:364925				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A = II-IV, etc.; P, Q = NR5GLZCR6R7C.tplbond.CR8, CONHOH, provided that when P = NR5GLZCR6R7C.tplbond.CR8, Q = CONHOH, and vice versa; W, X = C, N; Y = C, N, O, S, provided that at least one of W, X, and Y is not carbon; G = SO₂, POR₄; L = Ph, naphthyl, heteroaryl, with the proviso that G and Z may not be bonded to adjacent atoms of L; Z = O, NH, S, CH₂; the ring fused to WX bond = Ph, heteroaryl; R₅ = H, alkyl; R₆, R₇ = H, alkyl, CN, CCH; R₈ = H, alkyl, alkenyl, etc.], useful in treating disease conditions mediated by TNF- α , such as rheumatoid arthritis, osteoarthritis, sepsis, AIDS, ulcerative colitis, multiple sclerosis, Crohn's disease and degenerative cartilage loss, were prepared E.g, a multi-step synthesis of V which showed IC₅₀ of 30 nM against TACE, and IC₅₀ of 968 nM, 116 nM, and 80 nM against MMP-1, MMP-9, and MMP-13, resp., was given.

IT **287379-28-6P**

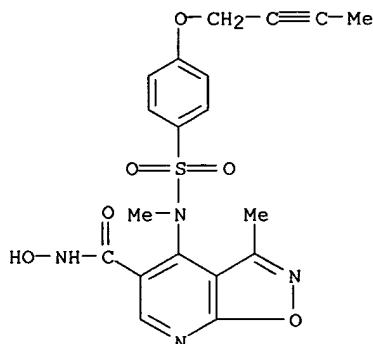
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of acetylenic ortho-sulfonamido and phosphinic acid amido bicyclic heteroaryl hydroxamic acids as TACE inhibitors)

RN 287379-28-6 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, 4-[[[4-(2-butynyloxy)phenyl]sulfonyl]methylamino]-N-hydroxy-3-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:262940 CAPLUS

DN 139:159438

TI Synthesis and SAR of bicyclic heteroaryl hydroxamic acid MMP and TACE inhibitors

AU Zask, A.; Gu, Y.; Albright, J. D.; Du, X.; Hogan, M.; Levin, J. I.; Chen, J. M.; Killar, L. M.; Sung, A.; DiJoseph, J. F.; Sharr, M. A.; Roth, C. E.; Skala, S.; Jin, G.; Cowling, R.; Mohler, K. M.; Barone, D.; Black, R.; March, C.; Skotnicki, J. S.

CS Wyeth-Ayerst Research, Pearl River, NY, 10965, USA

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(8), 1487-1490

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 139:159438

AB Potent and selective bicyclic heteroaryl hydroxamic acid MMP and TACE inhibitors were synthesized by a novel convergent route. Selectivity and efficacy vs. MMPs and TACE could be controlled by appropriate substitution on the scaffolds and by variation of the Pl' group. Select compds. were found to be effective in in vivo models of arthritis.

IT 223141-17-1P 287379-28-6P

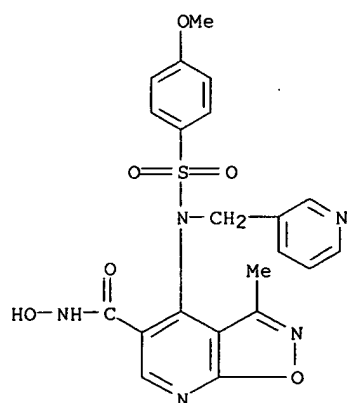
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and SAR of bicyclic heteroaryl hydroxamic acid MMP and TACE inhibitors)

RN 223141-17-1 CAPLUS

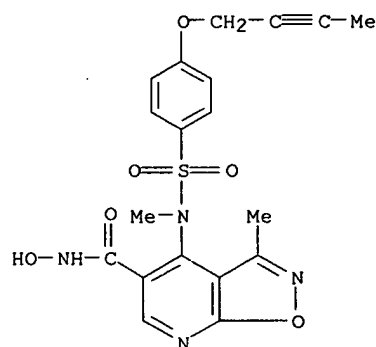
CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-4-[[[4-methoxyphenyl]sulfonyl](3-pyridinylmethyl)amino]-3-methyl- (9CI) (CA INDEX NAME)

10/716363



RN 287379-28-6 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, 4-[[[4-(2-butyloxy)phenyl]sulfonyl]methylamino]-N-hydroxy-3-methyl- (9CI) (CA INDEX NAME)



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:717060 CAPLUS

DN 137:247689

TI Preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors

IN Levin, Jeremy I.; Zask, Arie; Gu, Yansong; Albright, Jay D.; Du, Xuemei

PA USA

SO U.S. Pat. Appl. Publ., 39 pp.

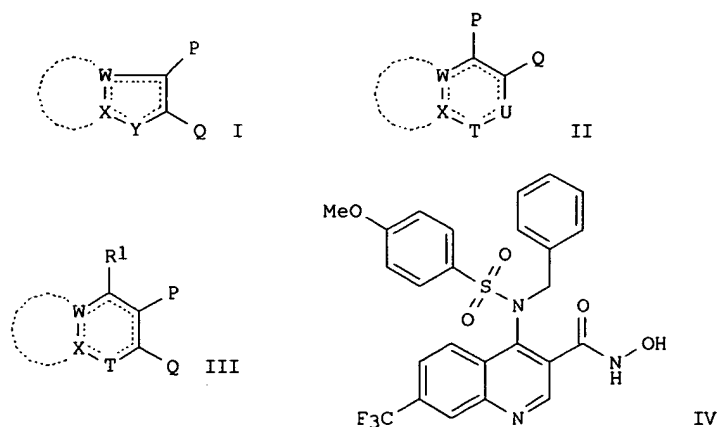
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	----	-----	-----
PI	US 2002132826	A1	20020919	US 2000-734146	20001211
	US 6534491	B2	20030318		
PRAI	US 2000-734146		20001211		
OS	MARPAT 137:247689				
GI					



AB The title compds. I, II, and III [wherein P and Q = independently R⁵CH₂NSO₂Z or CONHOH; T, U, W, and X = independently C or N, provided that when T or U is C, either may be optionally substituted with R¹; Y = C, N, O or S, provided that at least one of T, U, W, X, and Y is not C, and further provided that no more than 2 of T, U, W, and X are N; Z = Ph, naphthyl, heteroaryl, or heteroaryl fused to Ph, wherein the heteroaryl moiety contains 5-6 ring atoms and 1-3 heteroatoms selected from N, O, or S; wherein the Ph, naphthyl, heteroaryl, or Ph fused heteroaryl moieties may be optionally mono-, di-, or tri-substituted with R¹; R¹ = H, halo, alkenyl, alkynyl, (cyclo)alkyl, (CH₂)_nZ, OR₂, CN, COR₂, perfluoroalkyl, CONR₂R₃, PO(OR₂)R₃, S(O)_xR₂OPO(OR₂)OR₃, OCONR₂R₃, CO₂R₂, CONR₂R₃, SO₃H, NR₂R₃, NR₂COR₃, NR₂CO₂R₃, SO₂NR₂R₃, NO₂, NR₂SO₂R₃, NR₂CONR₂R₃, NR₂C(=NR₃)NR₂R₃, SO₂NHCOR₄, CONHSO₂R₄, tetrazol-5-yl, SO₂NHCN, SO₂NHCONR₂R₃, or Z; R₂ and R₃ = independently H, (cyclo)alkyl, alkenyl, alkynyl, perfluoroalkyl, Z, or V; V = (un)saturated heterocycloalkyl ring of 5-7 ring atoms having 1-3 heteroatoms selected from N, O, or S, which may be optionally mono- or di-substituted with R₂; R₄ = (cyclo)alkyl, alkenyl, alkynyl, perfluoroalkyl, Z, or V; R₅ = H, alkyl, alkenyl, alkynyl, Z, or V; n = 1-6; x = 0-2; and pharmaceutically acceptable salts thereof] were prepd as matrix metalloproteinase and TACE inhibitors. For example, the quinoline-3-carboxylic acid hydroxamide IV was prepd in a multi-step synthesis concluding with the reaction of 4-[benzyl-(4-methoxybenzenesulfonyl)amino]-7-trifluoromethylquinoline-3-carboxylic acid with oxalyl chloride in CH₂Cl₂ and DMF, followed by the treatment with HONH₂•HCl in the presence of Et₃N. I showed matrix metalloproteinase (MMP) inhibition and TACE inhibition with IC₅₀ values in the range of 0.27 nM to 5200 nM and 20 nM to > 1000 nM, resp.

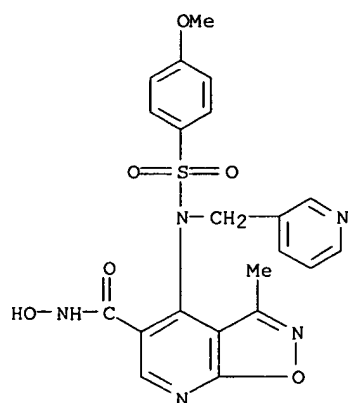
IT **223141-17-1P**, Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-4-[[[4-(4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methyl-**223141-30-8P**, Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-3-methyl-4-[methyl[[4-(4-pyridinyloxy)phenyl]sulfonyl]amino]-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitor; preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)

RN **223141-17-1** CAPLUS

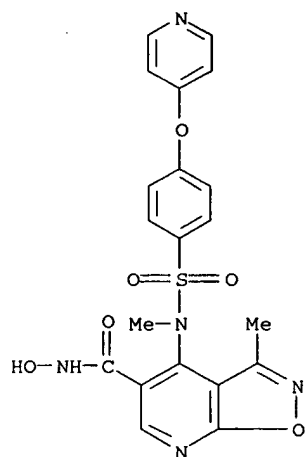
CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-4-[[[4-(4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methyl- (9CI) (CA INDEX NAME)

10/716363



RN 223141-30-8 CAPLUS

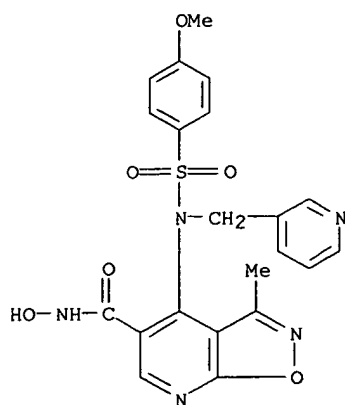
CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-3-methyl-4-[methyl[[4-(4-pyridinyloxy)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



IT 223141-39-7P, Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-4-[[4-(4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methyl-, monohydrochloride 223141-44-4P, Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-3-methyl-4-[methyl[[4-(4-pyridinyloxy)phenyl]sulfonyl]amino]-, monohydrochloride
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)

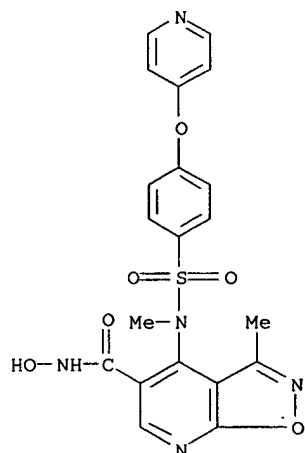
RN 223141-39-7 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-4-[[4-(4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 223141-44-4 CAPLUS
 CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-3-methyl-4-[methyl[[4-(4-pyridinyloxy)phenyl]sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

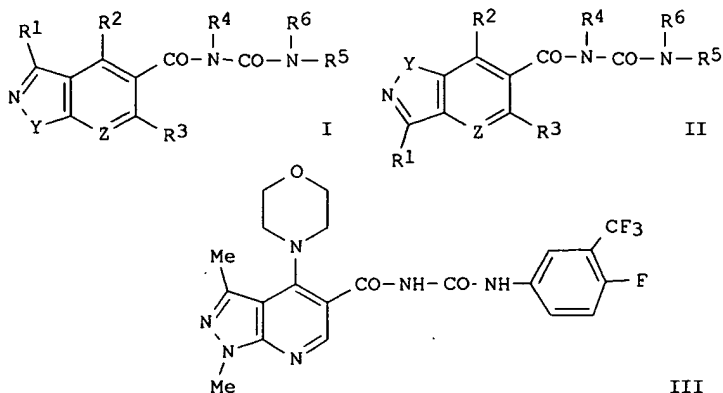
L5 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:594846 CAPLUS
 DN 137:154931
 TI Preparation of pyrazolo[5,4-b]pyridin-5-yl carboxamides as antagonists of MCP-1
 IN Laborde, Edgardo; Robinson, Louise; Meng, Fanying; Peterson, Brian T.; Villar, Hugo O.; Anuskiewicz, Steven E.; Ishiwata, Yoshiro; Yokochi, Shoji; Matsumoto, Yukiharu; Kakigami, Takuji; Inagaki, Hideaki; Jomori, Takahito; Matsushima, Kouji
 PA Telik, Inc., USA; Sanwa Kagaku Kenkyusho Co., Ltd.
 SO PCT Int. Appl., 119 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002060900	A2	20020808	WO 2002-US3016	20020130
	WO 2002060900	A3	20020926		
	WO 2002060900	C1	20031106		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

This appⁿ.

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, UZ, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
 GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
 GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2432997 AA 20020808 CA 2002-2432997 20020130
 EP 1358188 A2 20031105 EP 2002-707672 20020130
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 BR 2002006839 A 20040629 BR 2002-6839 20020130
 JP 2004524301 T2 20040812 JP 2002-561468 20020130
 PRAI US 2001-265841P P 20010131
 WO 2002-US3016 W 20020130
 OS MARPAT 137:154931
 GI



AB Title compds. I, II [Y = O, S, NR7; Z = N, CR8; R1-R8 = H, alkyl, alkenyl, etc.], their pharmaceutical acceptable salts and formulations were prepared For example, condensation of 1,3-dimethyl-4-morpholin-4-ylpyrazolo[5,4-b]pyridine-5-carboxamide and 4-fluoro-3-(trifluoromethyl)phenyl isocyanate provided claimed pyrazolopyridine III. Pyrazolopyridine III inhibited MCP-1 induced chemotaxis at an IC50 of 10 μ M, an addnl. 45 examples are provided, ranging in IC50 values from 20-0.09 μ M. Compds. I are antagonists of MCP-1 function and are useful in the prevention or treatment of chronic or acute inflammatory or autoimmune diseases, especially those associated with aberrant lymphocyte or monocyte accumulation.

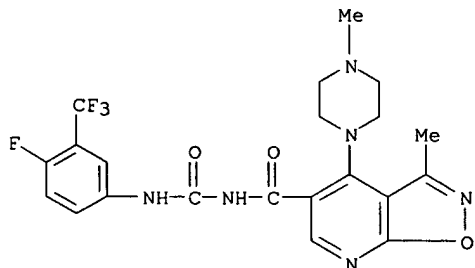
IT **445495-84-1P 445495-85-2P 445495-86-3P**
445495-87-4P 445496-10-6P 445496-11-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazolo[5,4-b]pyridin-5-yl carboxamides as antagonists of MCP-1 function)

RN 445495-84-1 CAPLUS

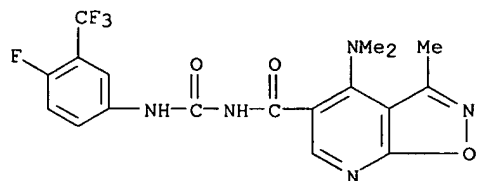
CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-3-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



10/716363

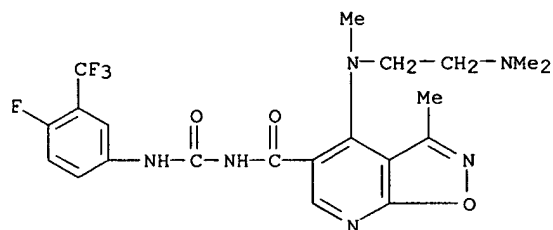
RN 445495-85-2 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, 4-(dimethylamino)-N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-3-methyl- (9CI) (CA INDEX NAME)



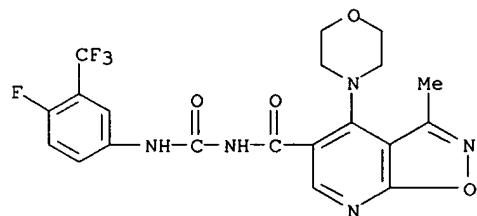
RN 445495-86-3 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, 4-[[2-(dimethylamino)ethyl]methylamino]-N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-3-methyl- (9CI) (CA INDEX NAME)



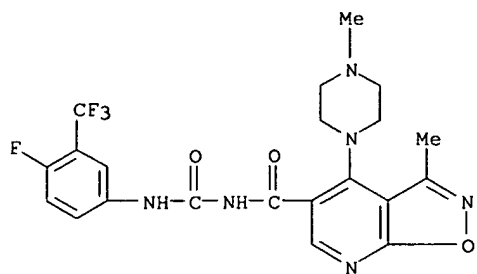
RN 445495-87-4 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-3-methyl-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



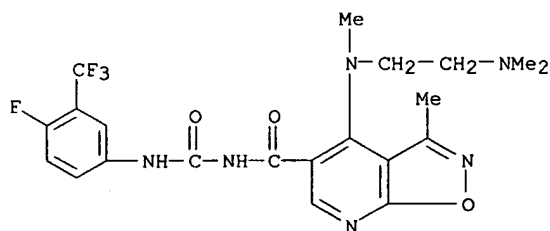
RN 445496-10-6 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-3-methyl-4-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)



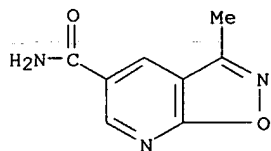
●x HCl

RN 445496-11-7 CAPLUS
 CN Isoxazolo[5,4-b]pyridine-5-carboxamide, 4-[[2-(dimethylamino)ethyl]methylamino]-N-[[[4-fluoro-3-(trifluoromethyl)phenyl]amino]carbonyl]-3-methyl-, hydrochloride (9CI)
 (CA INDEX NAME)

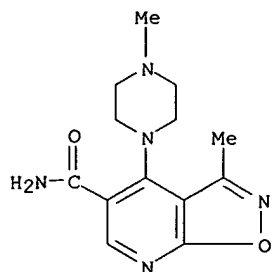


●x HCl

IT **445496-09-3P**, 3-Methylisoxazolo[5,4-b]pyridine-5-carboxamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of pyrazolo[5,4-b]pyridin-5-yl carboxamides as
 antagonists of MCP-1 function)
 RN 445496-09-3 CAPLUS
 CN Isoxazolo[5,4-b]pyridine-5-carboxamide, 3-methyl- (9CI) (CA INDEX NAME)

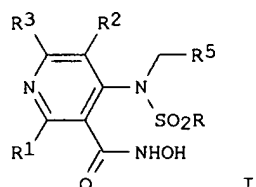


IT **445496-32-2P**, 3-Methyl-4-(4-methylpiperazin-1-yl)isoxazolo[5,4-b]pyridine-5-carboxylic acid amide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (reactant; preparation of pyrazolo[5,4-b]pyridin-5-yl carboxamides as
 antagonists of MCP-1 function)
 RN 445496-32-2 CAPLUS
 CN Isoxazolo[5,4-b]pyridine-5-carboxamide, 3-methyl-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

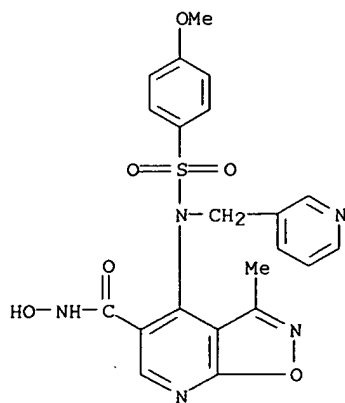


L5 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2001:869015 CAPLUS
 DN 136:5991
 TI Preparation of N-[(hydroxycarbonyl)heteroaryl]aranesulfonamides as matrix metalloproteinase and TACE inhibitors
 IN Levin, Jeremy I.; Zask, Arie; Gu, Yansong; Albright, Jay D.; Du, Xuemei
 PA American Cyanamid Company, USA
 SO U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U.S. Ser. No. 944,188, abandoned.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001046989	A1	20011129	US 2000-734140	20001211
	US 6548524	B2	20030415		
PRAI	US 1996-28505P	P	19961016		
	US 1997-944188	B2	19971006		
OS	MARPAT 136:5991				
GI					

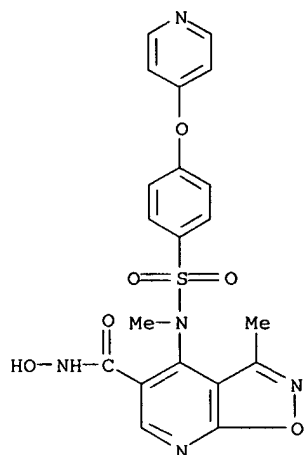


AB Title compds. [e.g., I; R = (un)substituted Ph, heteroaryl, etc.; R1 = H, halo, alkyl, alkoxy, etc.; R2R3 = atoms to complete an (un)substituted benzene or -pyrazole ring; R5 = H, alkyl, Ph, etc.] were prepared Thus, 4-(MeO)C6H4SO2NHCH2Ph was N-arylated by Et 4-chloro-7-trifluoromethylquinoline-3-carboxylate and the saponified product amidated by NH2OH to give I [R = C6H4(OMe)-4, R1 = H, R2R3 = CH:CHC(CF3):CH, R5 = Ph]. Data for biol. activity of I were given.
 IT **223141-17-1P 223141-30-8P**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)
 RN 223141-17-1 CAPLUS
 CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-4-[[4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methyl- (9CI) (CA INDEX NAME)



RN 223141-30-8 CAPLUS

Isloxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-3-methyl-4-[methyl[[4-(4-pyridinyloxy)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

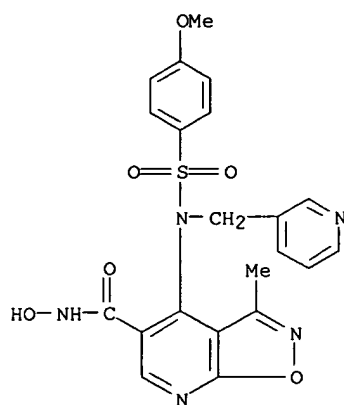


IT 223141-39-7P 223141-44-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic
acids as matrix metalloproteinase and TACE inhibitors)

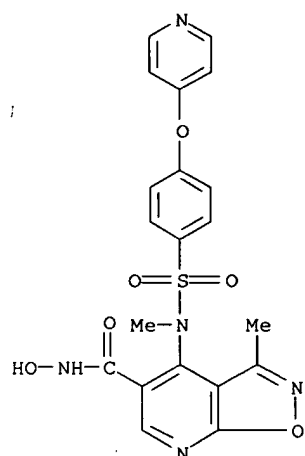
RN 223141-39-7 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-4-[[4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 223141-44-4 CAPLUS
 CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-3-methyl-4-[methyl[[4-(4-pyridinyloxy)phenyl]sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



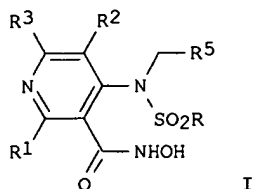
● HCl

L5 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2001:331317 CAPLUS
 DN 134:326529
 TI Preparation of N-[(hydroxycarbonyl)heteroaryl]aranesulfonamides as matrix metalloproteinase and TACE inhibitors
 IN Levin, Jeremy I.; Zask, Arie; Gu, Yansong; Albright, Jay D.; Du, Xuemei
 PA American Cyanamid Company, USA
 SO U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 55,856, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6228869	B1	20010508	US 1998-59554	19980414
	US 2001025047	A1	20010927	US 2000-734056	20001211
	US 6498167	B2	20021224		
PRAI	US 1996-28505P	P	19961016		
	US 1997-944188	A2	19971006		
	US 1998-55856	B2	19980406		
	US 1998-59554	A3	19980414		
OS	MARPAT 134:326529				

10/716363

GI



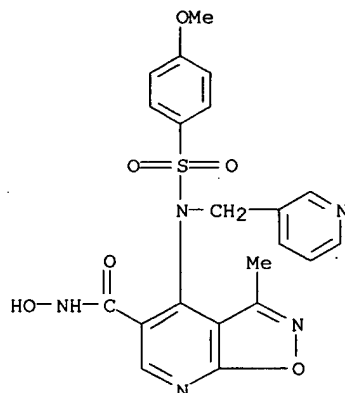
AB Title compds. [e.g., I; R = (un)substituted Ph, heteroaryl, etc.; R1 = H, halo, alkyl, alkoxy, etc.; R2R3 = atoms to complete an (un)substituted benzene or -pyrazole ring; R5 = H, alkyl, Ph, etc.] were prepared. Thus, 4-(MeO)C6H4SO2NHCH2Ph was N-arylated by Et 4-chloro-7-trifluoromethylquinoline-3-carboxylate and the saponified product amidated by NH2OH to give I [R = C6H4(OMe)-4, R1 = H, R2R3 = CH:CHC(CF3):CH, R5 = Ph]. Data for biol. activity of I were given.

IT 223141-17-1P 223141-30-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)

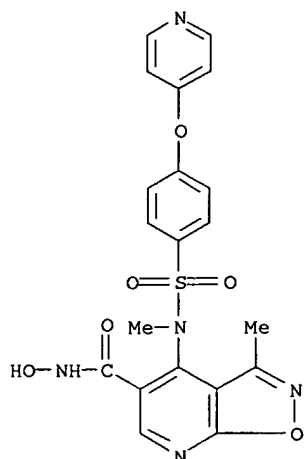
RN 223141-17-1 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-4-[[4-(methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methyl- (9CI) (CA INDEX NAME)



RN 223141-30-8 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-3-methyl-4-[methyl[[4-(4-pyridinyloxy)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

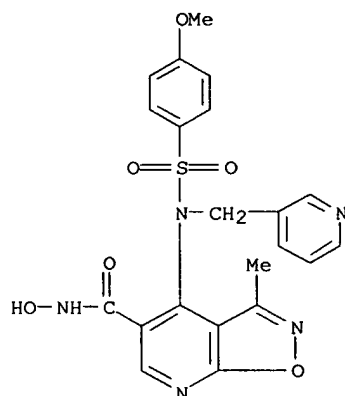


IT 223141-39-7P 223141-44-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)

RN 223141-39-7 CAPLUS

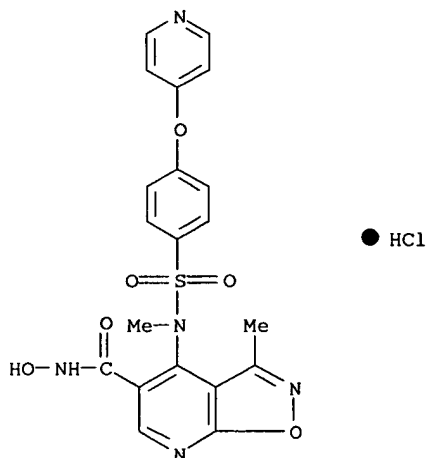
CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-4-[[[4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 223141-44-4 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-3-methyl-4-[methyl[[4-(4-pyridinyloxy)phenyl]sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:535141 CAPLUS

DN 133:150557

TI Preparation of acetylenic ortho-sulfonamido and phosphinic acid amido bicyclic heteroaryl hydroxamic acids as TACE inhibitors

IN Levin, Jeremy Ian; Chen, James Ming; Du, Xue-Mei; Albright, Jay Donald; Zask, Arie

PA American Cyanamid Company, USA

SO PCT Int. Appl., 87 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000044749	A1	20000803	WO 2000-US2144	20000127
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2355735	AA	20000803	CA 2000-2355735	20000127
BR 2000007760	A	20011113	BR 2000-7760	20000127
EP 1157024	A1	20011128	EP 2000-905788	20000127
EP 1157024	B1	20021106		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 227288	E	20021115	AT 2000-905788	20000127
EP 1279674	A2	20030129	EP 2002-24564	20000127
EP 1279674	A3	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, AL				
PT 1157024	T	20030228	PT 2000-905788	20000127
ES 2186628	T3	20030516	ES 2000-905788	20000127
ZA 2001004324	A	20020826	ZA 2001-4324	20010525
NO 2001003673	A	20010921	NO 2001-3673	20010726
HK 1038562	A1	20030221	HK 2002-100116	20020108
PRAI US 1999-239084	A	19990127		
EP 2000-905788	A3	20000127		
WO 2000-US2144	W	20000127		
OS MARPAT 133:150557				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A = II-IV, etc.; P, Q = NR5GLZCR6R7C.tplbond.CR8, CONHOH, provided that when P = NR5GLZCR6R7C.tplbond.CR8, Q = CONHOH, and vice versa; W, X = C, N; Y = C, N, O, S, provided that at least one of W, X, and Y is not carbon; G = SO₂, POR4; L = Ph, naphthyl, heteroaryl, with the proviso that G and Z may not be bonded to adjacent atoms of L; Z = O, NH, S, CH₂; the ring fused to WX bond = Ph, heteroaryl; R₅ = H, alkyl; R₆, R₇ = H, alkyl, CN, CCH; R₈ = H, alkyl, alkenyl, etc.], useful in treating disease conditions mediated by TNF- α , such as rheumatoid arthritis, osteoarthritis, sepsis, AIDS, ulcerative colitis, multiple sclerosis, Crohn's disease and degenerative cartilage loss, were prepared E.g, a multi-step synthesis of V which showed IC₅₀ of 30 nM against TACE, and IC₅₀ of 968 nM, 116 nM, and 80 nM against MMP-1, MMP-9, and MMP-13, resp., was given.

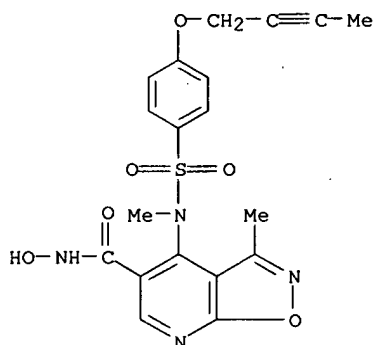
IT 287379-28-6P

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acetylenic ortho-sulfonamido and phosphinic acid amido bicyclic heteroaryl hydroxamic acids as TACE inhibitors)

RN 287379-28-6 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, 4-[[[4-(2-butynyloxy)phenyl]sulfonyl]methylamino]-N-hydroxy-3-methyl- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:98523 CAPLUS

DN 132:151835

TI Preparation of fused-heterocycle dicarboxylic diamide derivatives or salts thereof, herbicides and usage thereof

IN Takaishi, Hideo; Katsuhira, Takeshi; Yamaguchi, Hiroshi; Kawabata, Yoichi; Harayama, Hiroto; Oda, Yoshiaki; Murai, Masahiko

PA Nihon Nohyaku Co., Ltd., Japan

SO PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000006549	A1	20000210	WO 1999-JP4009	19990727
W: BR, CA, CN, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2338827	AA	20000210	CA 1999-2338827	19990727
EP 1101758	A1	20010523	EP 1999-933115	19990727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9912571	A	20011120	BR 1999-12571	19990727
JP 2000103708	A2	20000411	JP 1999-214000	19990728
US 6444617	B1	20020903	US 2001-744579	20010126
US 2003073582	A1	20030417	US 2002-133444	20020429
PRAI JP 1998-212817	A	19980728		

10/716363

WO 1999-JP3009	W	19990727
WO 1999-JP4009	W	19990727
US 2001-744579	A3	20010126

OS MARPAT 132:151835
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

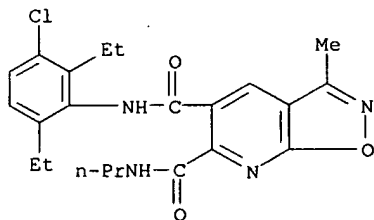
AB Fused-heterocycle dicarboxylic diamide derivs. represented by general formula [I; wherein R1 is H or C1-6 alkyl; R2 and R3 are each H, (halo)-C1-6 alkyl, C3-8 cycloalkyl, substituted amino-C1-6 alkyl, (substituted) phenyl-C1-6 alkyl, (substituted) phenyl-C1-6 alkoxy or the like, or R2 and R3 are united to form a 5- or 6-membered heterocycle bearing at least one member selected from among O, S and N; X is H, halogeno, NO2, cyano, C1-5 alkyl, (substituted) Ph, (substituted) phenoxy or the like; Het = heterocyclic ring, e.g. Q, Q1, Q2, Q3, etc.; wherein Y, R4, and R9 are each H, halo, no2, cyano, cl6 alkyl or the like; and A, B, D, E, F, G, J, and K are each O, S, N, sulfinyl or the like; Z = O, S, (un)substituted NH] are prepared. Thus, n-propylamine was added to a solution of N-(3-chloro-2,6-diethylphenyl)-7-fluoro-2,3-quinolinedicarboximide in THF and allowed to react for 12 h to give N-propyl-3-((3-chloro-2,6-diethylphenyl)aminocarbonyl)-7-fluoro-2-quinolinecarboxamide (II; X1 = F). II (X1 = H) at 5 kg/ha preemergence controlled 100% Alopecurus aequalis, Echinochloa crus-galli, Abutilon theophrasti, Xanthium pensylvanicum, Galium spurium, and Veronica persica and gave no injury to wheat and soy bean seedlings.

IT 257874-53-6P 257874-54-7P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of fused-heterocycle dicarboxylic diamide derivs. as herbicides)

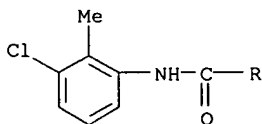
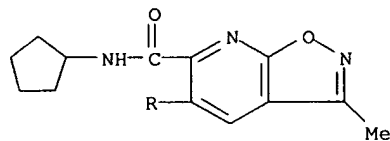
RN 257874-53-6 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5,6-dicarboxamide, N5-(3-chloro-2,6-diethylphenyl)-3-methyl-N6-propyl- (9CI) (CA INDEX NAME)



RN 257874-54-7 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5,6-dicarboxamide, N5-(3-chloro-2-methylphenyl)-N6-cyclopentyl-3-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:244637 CAPLUS

DN 130:296678

TI The preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors

IN Levin, Jeremy Ian; Zask, Arie; Gu, Yansong; Albright, Jay Donald; Du, Xuemei

PA American Cyanamid Company, USA

SO PCT Int. Appl., 92 pp.

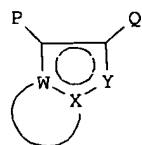
CODEN: PIXXD2

DT Patent

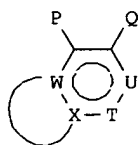
LA English

FAN.CNT 3

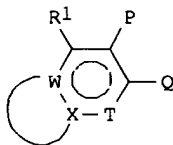
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9918076	A1	19990415	WO 1998-US7380	19980414
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2303449	AA	19990415	CA 1998-2303449	19980414
	AU 9869685	A1	19990427	AU 1998-69685	19980414
	AU 760218	B2	20030508		
	EP 1021413	A1	20000726	EP 1998-915523	19980414
	EP 1021413	B1	20030611		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9812727	A	20000822	BR 1998-12727	19980414
	RU 2202546	C2	20030420	RU 2000-111469	19980414
	AT 242768	E	20030615	AT 1998-915523	19980414
	JP 2003520183	T2	20030702	JP 2000-514888	19980414
	PT 1021413	T	20031031	PT 1998-915523	19980414
	ES 2200335	T3	20040301	ES 1998-915523	19980414
	NO 2000001755	A	20000531	NO 2000-1755	20000405
PRAI	US 1997-944188	A	19971006		
	US 1998-55856	A	19980406		
	WO 1998-US7380	W	19980414		
OS	MARPAT 130:296678				
GI					



I



II



III

AB Low mol. weight, non-peptide inhibitors of matrix metalloproteinases and TNF- α converting enzyme (TACE, tumor necrosis factor- α converting enzyme) of formulas I, II, and III [P, Q = R⁵CH₂NSO₂Z, CONHOH; T, U, W, X = carbon or nitrogen, provided that when T or U is carbon, either may be optionally substituted with R¹; Y is carbon, nitrogen, oxygen or sulfur, provided that at least one of T, U, W, X, and Y is not carbon, and further provided that no more than 2 of T, U, W, and X are nitrogen; Z = Ph, naphthyl, heteroaryl, or heteroaryl fused to Ph, wherein the heteroaryl moiety contains 5-6 ring atoms and 1-3 heteroatoms selected from nitrogen, oxygen, or sulfur; wherein the Ph, naphthyl, heteroaryl, or Ph fused heteroaryl moieties may be optionally mono-, di-, or tri-substituted with R¹; R¹ is hydrogen, halogen, alkyl of 1-8 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, cycloalkyl of 3-6 carbon atoms, -(CH₂)_nZ, -OR₂, -CN, -COR₂, perfluoroalkyl of 1-4 carbon atoms, -CONR₂R₃, -S(O)xR₂OPO(OR₂)OR₃, -PO(OR₂)R₃, -OC(O)NR₂], matrix metalloproteinase and TACE inhibitors, were prepared E.g., 4-[benzyl(4-methoxybenzenesulfonyl)amino]-7-trifluoromethylquinoline-3-carboxylic acid hydroxyamide was prepared

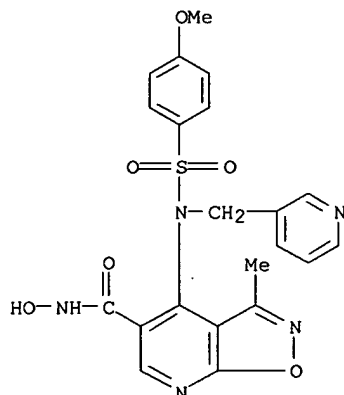
IT 223141-17-1P 223141-30-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic
 acids as matrix metalloproteinase and TACE inhibitors)

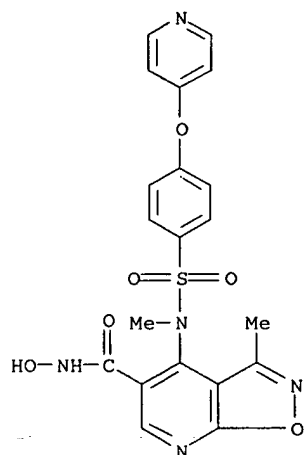
RN 223141-17-1 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-4-[[4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methyl- (9CI) (CA INDEX NAME)



RN 223141-30-8 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-3-methyl-4-[methyl[[4-(4-pyridinyloxy)phenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

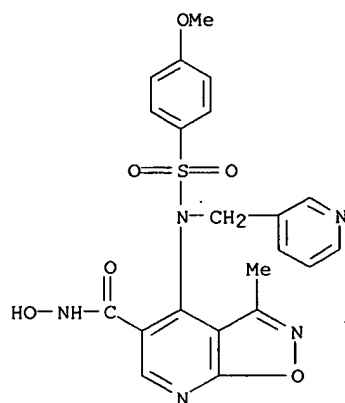


IT 223141-39-7P 223141-44-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and use of ortho-sulfonamido bicyclic heteroaryl hydroxamic
 acids as matrix metalloproteinase and TACE inhibitors)

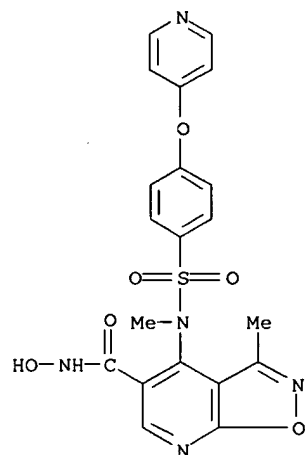
RN 223141-39-7 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-4-[[4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 223141-44-4 CAPLUS
 CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N-hydroxy-3-methyl-4-[methyl[[4-(4-pyridinyloxy)phenyl]sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 1997:483984 CAPLUS
 DN 127:171090
 TI N-Arylpiperazinyl-N'-propylamino Derivatives of Heteroaryl Amides as Functional Uroselective α_1 -Adrenoceptor Antagonists
 AU Elworthy, Todd R.; Ford, Anthony P. D. W.; Bantle, Gary W.; Morgans, David J., Jr.; Ozer, Rachel S.; Palmer, Wylie S.; Repke, David B.; Romero, Magarita; Sandoval, Leticia; Sjogren, Eric B.; Talamas, Francisco X.; Vazquez, Alfredo; Wu, Helen; Arredondo, Nicolas F.; Blue, David R.; DeSousa, Andrea; Gross, Lisa M.; Kava, M. Shannon; Lesnick, John D.; Vimont, Rachel L.; Williams, Timothy J.; Zhu, Quan-Ming; Pfister, Juerg R.; Clarke, David E.
 CS Roche Bioscience, Palo Alto, CA, 94304-1397, USA
 SO Journal of Medicinal Chemistry (1997), 40(17), 2674-2687
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 AB Novel arylpiperazines were identified as α_1 -adrenoceptor (AR) subtype-selective antagonists by functional in vitro screening.

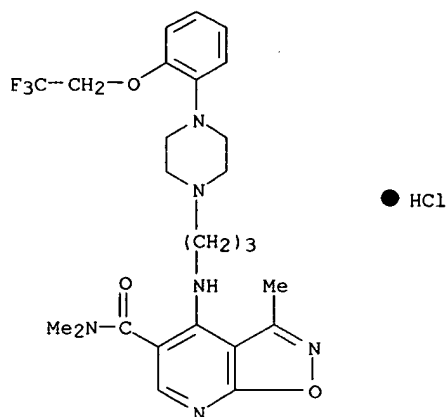
3-[4-(Ortho-Substituted phenyl)piperazin-1-yl]propylamines were treated with N,N-di-Me anthranilamides, nicotinamides, as well as carboxamides of quinoline, 1,8-naphthyridine, pyrazolo[3,4-b]pyridine, isoxazolo[3,4-b]pyridine, imidazo[4,5-b]pyridine, and pyrazolo[1,5-a]pyrimidines. Strips of rabbit bladder neck were employed as a predictive assay for antagonism in the human lower tract. Rings of rat aorta were used as a "neg. screen" for the test antagonists. Binding to $\alpha 1$ -ARs was relatively sensitive to size and electronic features of the arylpiperazine portion of the antagonists and permissive to these features on the heteroaryl carboxamide side. These structure-affinity findings were exploited to produce nicotinamides and pyrazolo[3,4-b]pyridines ligands with nanomolar affinity at the $\alpha 1$ -AR subtype prevalent in the human lower urinary tract (pA₂ values: 8.8, 10.7, 9.3, and 9.9, resp.) and displaying 2-3 orders of magnitude selectivity over the $\alpha 1D$ -AR.

IT 193975-25-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpiperazinylpropylamino derivs. of heteroaryl amides as adrenoceptor antagonists)

RN 193975-25-6 CAPLUS

CN Isoxazolo[5,4-b]pyridine-5-carboxamide, N,N,3-trimethyl-4-[[3-[4-[2-(2,2,2-trifluoroethoxy)phenyl]-1-piperazinyl]propyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1994:323554 CAPLUS

DN 120:323554

TI Preparation and herbicidal activity of heteroaromatic condensed hydroxypyridonecarboxamides

IN Nuebling, Christoph; Von Deyn, Wolfgang; Theobald, Hans; Westphalen, Karl Otto; Kardorff, Uwe; Walter, Helmut; Kappe, Thomas; Gerber, Matthias

PA BASF A.-G., Germany

SO Ger. Offen., 86 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4227747	A1	19940224	DE 1992-4227747	19920821
	EP 584611	A1	19940302	EP 1993-112613	19930806
	EP 584611	B1	19960117		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL				
	AT 133173	E	19960215	AT 1993-112613	19930806
	US 5378679	A	19950103	US 1993-107303	19930817
	CA 2104307	AA	19940222	CA 1993-2104307	19930818
	JP 06199856	A2	19940719	JP 1993-205158	19930819
	US 5593943	A	19970114	US 1994-261967	19940617
PRAI	DE 1992-4227747	A	19920821		

10/716363

US 1993-107303 A3 19930817
OS MARPAT 120:323554
GI For diagram(s), see printed CA Issue.
AB The preparation of title compds. I (R1 = H, OH, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy; R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkenyloxy, dialkylamino; R1R2 = 2-6 membered ring containing O, S, or N-methyl; X = O, S; Q = 5- or 6-membered heteroarom. ring with 1-3 N atoms or/and O or S). Thus, reaction of 6-ethoxycarbonyl-7-hydroxythieno[3,2-b]pyridin-5(4H)-one with tert-butylamine in EtOH at 150° (8h) in a autoclave in gave 38% title compound II.
IT **155305-45-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)
RN 155305-45-6 CAPLUS
CN Isoxazolo[5,4-b]pyridine-5-carboxamide, 6,7-dihydro-4-hydroxy-3-methyl-N-(1-methylethyl)-6-oxo- (9CI) (CA INDEX NAME)

